

Natural Fumigants and Derivatives and Analogs

Joel Coats, Christopher Peterson, Rong Tsao

Pesticide Toxicology Laboratory, Department of Entomology, Iowa State University
Ames, Iowa 50011, USA, Tel: (515) 294-4776, Fax: (515) 294-4757

Email: jcoats@iastate.edu

Introduction

Potent insect fumigants have been isolated from natural sources. Although the plant chemistry has been reported by other researchers, the insecticidal effects of these compounds have not been previously described. The lead structure was 1-cyano-2-hydroxy-3-butene (CHB), isolated as an aglucone of a glucosinolate in crambe. It is mildly insecticidal by contact and fumigant action against several insect species. An analog was synthesized that was one carbon shorter, it was 1-cyano-1-hydroxy-2-propene (CHP). It is also an analog of two naturally occurring compounds, from flax and cassava, acetone cyanohydrin and methylethylketone cyanohydrin. The efficacy of the two natural cyanohydrins and CHP were considerably greater than that of the CHB. Other analogs have been synthesized, resulting in some with excellent fumigant activity, others with much reduced activity. Derivatizations of the best fumigants have also been accomplished to yield several additional compounds with outstanding activity as fumigants against insect pests. The house fly and the lesser grain borer were used as the primary screening species; they were tested in empty containers.

Results

24-hour LC₅₀ values (expressed in µg compound/cm³ fumigation volume) and 95% fiducial limits were calculated using probit analysis on SAS and are listed below. These values are based on nominal concentrations, and assume 100% volatilization of the compounds in the test chamber.

	Housefly		Lesser Grain Borer	
<u>Cyanohydrins</u>	<u>LC₅₀ (µg/cm³)</u>	<u>95% F.L.</u>	<u>LC₅₀ (µg/cm³)</u>	<u>95% F.L.</u>
CHP	0.056*	0.049,0.063	0.37**	0.14,0.42
DMK (Natural)	<0.07		0.40	
MEK (Natural)	0.09		0.2-0.4	
MBA	0.92	0.81,1.06	2.33	2.17,2.56
MBO	0.08*	0.07,0.09	0.77*	0.71,0.84
MVK	0.15-0.29	a	0.92*	0.86,1.02
FDMK	0.09	0.08,0.10	0.33**	0.30,0.37
DDMK	0.20	0.17,0.22	0.26	0.23,0.30
<u>Cyanohydrin Esters</u>				
CPH-Ace	0.26	0.23,0.30	0.37**	0.32,0.45
CHP-Pro	0.66	0.58,0.77	0.70*	0.64,0.76
CHP-Piv	1.37	1.17,1.68	2.41	2.19,2.68
<u>CHB</u>	6.20	4.91,9.73	>19.6	a
<u>Commercial Standards</u>				
Dichlorvos (Commercial)	0.011	0.009,0.013	0.29	0.21,0.41
Chlorpicrin (Commercial)	0.08	0.076,0.099	1.30	1.20,1.42

* 95% F.L. overlaps that of chloropicrin

** 95% F.L. overlaps that of dichlorvos

a – satisfactory 95% F.L. could not be determined

Key: DMK = dimethyl ketone cyanohydrin; MEK= methyl ethyl ketone cyanohydrin; CHP = 1-cyano-1-hydroxy-2-propene; MBA = 2-methyl butanal cyanohydrin; MBO = 3-methyl-2-butanone cyanohydrin; MVK = methyl vinyl ketone cyanohydrin; FDMK = fluoro-DMK cyanohydrin; DDMK = deuterio-DMK cyanohydrin; CHP-Ace=CHP acetate CHP-Pro = CHP propionate; CHP-Piv = CHP pivalate; CHB = 1-cyano-2-hydroxy-3-butene.

Conclusion

The natural cyanohydrins tested here are of comparable toxicity to dichlorvos and chloropicrin, as were some of the synthetic ones. Esterification seems to lower the toxicity slightly, though it may enhance the residual activity of the fumigant. This demonstrates the utility of examining plant defensive compounds for potential agrochemicals, and may provide valuable leads for future pesticide development.